

PATENT COOPERATION TREATY
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INTERNATIONAL PRELIMINARY EXAMINATION REPORT
(PCT Article 36 and Rule 70)

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| Applicant's or agent's file reference PPD70160/WO | FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) | |
| International application No. PCT/GB 03/04547 | International filing date (day/month/year) 23.10.2003 | Priority date (day/month/year) 26.11.2002 |
| International Patent Classification (IPC) or both national classification and IPC C07D213/65 | | |
| Applicant SYNGENTA LIMITED | | |

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|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--|
| <ol style="list-style-type: none"> 1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36. 2. This REPORT consists of a total of 5 sheets, including this cover sheet. <p style="margin-top: 10px;"> <input checked="" type="checkbox"/> This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT). </p> <p>These annexes consist of a total of 1 sheets.</p> | |
| <ol style="list-style-type: none"> 3. This report contains indications relating to the following items: <ul style="list-style-type: none"> I <input checked="" type="checkbox"/> Basis of the opinion II <input type="checkbox"/> Priority III <input type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability IV <input type="checkbox"/> Lack of unity of invention V <input checked="" type="checkbox"/> Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement VI <input type="checkbox"/> Certain documents cited VII <input type="checkbox"/> Certain defects in the international application VIII <input type="checkbox"/> Certain observations on the international application | |

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|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------------------------------------------------|
| Date of submission of the demand 25.05.2004 | Date of completion of this report 06.08.2004 |
| Name and mailing address of the international preliminary examining authority:  European Patent Office - Gitschner Str. 103 D-10958 Berlin Tel. +49 30 25901 - 0 Fax: +49 30 25901 - 840 | Authorized Officer Hass, C Telephone No. +49 30 25901-340 |
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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/GB 03/04547

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-31 as originally filed

Claims, Numbers

1-8 as originally filed
9-11 filed with telefax on 12.07.2004

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- the language of publication of the international application (under Rule 48.3(b)).
- the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- contained in the international application in written form.
- filed together with the international application in computer readable form.
- furnished subsequently to this Authority in written form.
- furnished subsequently to this Authority in computer readable form.
- The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- the description, pages:
- the claims, Nos.: 10 (originally)
- the drawings, sheets:

5. This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/GB 03/04547

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

1. Statement

| | | | |
|-------------------------------|------|--------|------|
| Novelty (N) | Yes: | Claims | 1-11 |
| | No: | Claims | |
| Inventive step (IS) | Yes: | Claims | 1-11 |
| | No: | Claims | |
| Industrial applicability (IA) | Yes: | Claims | 1-11 |
| | No: | Claims | |

2. Citations and explanations

see separate sheet

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/GB 03/04547

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

V.1 Cited documents

- D1: WO 99/33810 A (IHARA CHEMICAL IND CO; KUMIAI CHEMICAL INDUSTRY CO (JP); MATSUMOTO) 8 July 1999 (1999-07-08)
- D2: US-A-6 090 815 (MURAMATSU NORIMICHI ET AL) 18 July 2000 (2000-07-18)
- D3: US-A-4 062 977 (BAKER DON R ET AL) 13 December 1977 (1977-12-13)
- D4: US-A-4 083 867 (BAKER DON R ET AL) 11 April 1978 (1978-04-11)
- D5: US-A-4 116 677 (WALKER FRANCIS H ET AL) 26 September 1978 (1978-09-26)
- D6: EP-A-0 001 721 (STAUFFER CHEMICAL CO) 2 May 1979 (1979-05-02)

V.2 Novelty

The subject-matter of claim 1 is different from the cited prior art as follows:

In D1, the R₁-O corresponding group is alkyl, not alkoxy.

The D2 compounds are pyrimidine, not pyridine derivatives.

The compounds disclosed in D3 to D6 are phenyl, not pyridine derivatives.

The subject-matter of claim 1 and of claims 2 to 12 is thus novel over the cited prior art.

V.3 Inventive step

V.3.1 According to the description, the problem underlying the present application is to provide further fungicidally active compounds. The applicant has provided compounds of formula (1) as claimed in claim 1.

V.3.2 All of the cited documents can be considered to represent relevant prior art since all documents disclose compounds structurally similar to the present ones, however, the D1 compounds are bactericides, the D3 compounds are miticides, the D4 and D5 compounds are herbicides, and the D6 compounds are mildewicides; only D2 discloses compounds which are said to be **fungicides**. Because of this activity, D2 represents the closest prior art.

V.3.3 A person skilled in the art, faced with the problem as defined under point

V.3.1 above, would probably only take D2 into consideration since he or she could not assume that structural modifications of D1, D3, D4, D5 and D6 compounds would lead to fungicidally active compounds. The D2 compounds, however, differ from the present compounds in that they have a pyrimidine group (present compounds: pyridine group) and a group Q, which cannot represent an alkynyl group, as is possible in the present compounds (the Q-corresponding part in the present compounds is $-C\equiv C-R_5$). The only concrete examples of D2 where Q contains a $C\equiv C$ bond is example A-97, where Q is $COOCH_2C\equiv CH$. It appears thus that the structure of the present compounds is not directly deducible from the disclosure of D2. The present compounds may thus be considered as to be structurally non-obvious with regard to the closest prior art D2. Documents D1, D3, D4 and D5, moreover, which can have a group which corresponds to the present group $-C\equiv C-R_5$ indicate that such compounds can have herbicidal or insecticidal activity, however, no fungicidal activity is mentioned: These documents would even lead the skilled person away from the solution of the problem that is now offered in the present application.

V.3.4 Therefore it seems that inventive step can be acknowledged for the subject-matter of product claims 1-9 and of claims 10 and 11, which are directed to the use of the compounds of claim 1.

V.4 Industrial applicability

The subject-matter of claims 1-12 is considered to be industrially applicable.

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9. A compound according to claim 1 wherein X is chloro or bromo and Y is H; R₁ is methyl, ethyl, n-propyl, n-butyl; R₂ is H; R₃ and R₄ are both methyl; and R₅ is H, methyl, hydroxymethyl, methoxymethyl, 1-methoxyethyl, tert-butyldimethyl-silyloxyethyl, 3-methylthiopropyl, 3-methanesulphonylpropyl or 3-methanesulphonylpropyl.
10. A fungicidal composition comprising a fungicidally effective amount of a compound of formula (1) as defined in claim 1 and a suitable carrier or diluent therefor.
11. A method of combating or controlling phytopathogenic fungi which comprises applying a fungicidally effective amount of a compound of formula (1) as defined in claim 1 or a composition according to claim 10 to a plant, to a seed of a plant, to the locus of the plant or seed or to soil or any other plant growth medium.